

US007265119B2

(12) United States Patent

Bogle et al.

(10) Patent No.: US 7,265,119 B2

(45) **Date of Patent:** Sep. 4, 2007

(54) TARTRATE SALTS OF 5,8,14-TRIAZATETRACYCLO[10.3.1.0^{2,11}.0^{4,9}]-HEXADECA-2(11),3,5,7,9-PENTAENE AND PHARMACEUTICAL COMPOSITIONS THEREOF

(75) Inventors: **David E. Bogle**, Jewett City, CT (US); **Glenn R. Williams**, Oaksville (CA);

Peter R. Rose, Ledyard, CT (US)

(73) Assignee: Pfizer Inc, New York, NY (US)

(*) Notice: Subject to any disclaimer, the term of this

patent is extended or adjusted under 35 U.S.C. 154(b) by 105 days.

(21) Appl. No.: 11/069,724

(22) Filed: Feb. 28, 2005

(65) Prior Publication Data

US 2005/0148591 A1 Jul. 7, 2005

Related U.S. Application Data

- (63) Continuation of application No. 10/139,730, filed on May 6, 2002, now Pat. No. 6,890,927.
- (60) Provisional application No. 60/290,861, filed on May 14, 2001.
- (51) Int. Cl. C07D 241/36 (2006.01) A61K 31/50 (2006.01) A61K 31/495 (2006.01)
- (52) **U.S. Cl.** 514/250; 544/343

(56) References Cited

U.S. PATENT DOCUMENTS

3,471,503 A 10/1969 Carson

FOREIGN PATENT DOCUMENTS

EP 1078637 2/2001 WO WO 9935131 7/1999

OTHER PUBLICATIONS

Paul H. Mazzochi, et al., "Synthesis and Pharmacological Activity of 2,3,4,5-Tetrahydro-1,5-Methano-1H-3-Benzazepines", J. Med. Chem., vol. 22, No. 4, 1979, pp. 455-457, XP002090422.

Primary Examiner—Bruck Kifle (74) Attorney, Agent, or Firm—Steve T. Zelson; A. David Joran

(57) ABSTRACT

The present invention is directed to the tartrate salts of 5,8,14-triazatetracyclo[10.3.1.0^{2,11}.0^{4,9}]-hexadeca-2(11),3, 5,7,9-pentaene:

and pharmaceutical compositions thereof. The present invention in particular is directed to the L-tartrate salt, and further to the various polymorphs of the L-tartrate salt, including two distinct anhydrous polymorphs (referred to herein as Forms A and B) and a hydrate polymorph (referred to herein as Form C). In addition, the present invention is also directed to the D-tartrate salt of 5,8,14-triazatetracyclo [10.3.1.0^{2,11}.0^{4,9}]-hexadeca-2(11),3,5,7,9-pentaene and the various polymorphs thereof; as well as the D,L-tartrate salt thereof and its polymorphs, and the meso-tartrate salt thereof and its polymorphs.

15 Claims, 20 Drawing Sheets